96-287086/29 C03 (C02) FARB 94.12.08 BAYER AG *WO 9617825-AI 94.12.08 94DE-4443641 (96.06.13) C07C 251/48, A01N 37/50, 43/40, 43/54, C07C 255/44, C07D 285/08, 417/04, 239/34, C07C 251/60, A01N 43/82, C07C 69/734

(ج

New aromatic and araliphatic carboxamide cpds. - useful as fungicides in plant protection, esp. for control of cereal, fruit and vegetable diseases (Ger)

C96-091791 N(AU BB BG BR BY CA CN CZ FI HU JP KR KZ LK MX NO NZ PL RO RU SK UA US) R(AT BE CH DE DK ES FR GB GR IE IT LU MC NL OA PT SE)

Addnl. Data: SEITZ T, HEINEMANN U, STENZEL K, DÚTZMANN S 95.11.27 95WO-EP04668

Substd (hetero)aryl and (hetero)aralkyl carboxamides of formula (I) are new.

 $Z-G-Ar^1-E-CO-N(A^1)-[C(A^2)(A^3)]_m-Ar^2$

 \ni

 A^1 , $A^2 = H$ or alkyl;

 $A^3 = H$, alkyl or CN;

 Ar^{1} = opt. substd. arylene or heteroarylene

 $Ar^2 = opt.$ substd. aryl or heteroaryl;

C(7-H, 10-D3, 14-A6) .3

[T]

= 2-R¹-1-alkene-1,1-diyl, 2-aza-2-R²-1-alkene-1,1-diyl, NR³, 3-aza-1-R⁴-3-R⁵-1-propene-2,3-diyl, 3-(aza or thia)-1-R⁴-1-propenediyl, 1-aza-1-(R⁴ or R⁶)-3-R⁵-1-propene-2,3-diyl, 1,3-diaza-1-3-R⁵-1-propene,2,3-diyl, or 1-aza-3-(oxa or thia)-1-R⁶-1-propene-2,3-diyl;

R¹, R⁴ = alkyl, alkoxy, alkylthio, alkylamino or dialkylamino (all opt. substd.), H, halo or CN;

 R^2 , R^6 = alkyl, alkoxy, alkylamino or dialkylamino (all opt. substd.), H, NH_2 or CN;

R³ = alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkylalkyl (all opt. substd.), H or CN;

 $R^5 = alkyl;$

G = alkanediyl, alkenediyl or alkynediyl (all opt. substd. by halo, OH, haloalkyl or cycloalkyl), bond, O, S, -Q-CQ-, -CQ-Q-, -CH₂-Q-, -Q-CH₂-, -CQ-Q-CH₂-, -CH₂-Q-CQ-, -CQ-Q-CH₂-, -CQ-Q-CH₂-, -CQ-, -CQ-, -CQ-, -C(R⁷)=N-O-, -C(R⁷)=N-O-CH₂-, -N(R⁸)-, -N(R⁸)-, -N(R⁸)-, -N(R⁸)-, -N(R⁸)-, -N(R⁸)-CQ-Q-, -N(R⁸)-CQ-Q-, -N(R⁸)-CQ-Q-, -N(R⁸)-CQ-Q-, -N(R⁸)-CQ-Q-, -N(R⁸)-CQ-Q-CH₂-, -Q-C(R⁷)=N-O-CH₂- or -

WO 9617825-A+

```
Z = alkyl, alkenyl, alkynyl, cycloalkyl, aryl or heterocyclyl (all opt.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  R<sup>8</sup> = alkyl, alkoxy or cycloalkyl (all opt., substd.), H, OH or CN;
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    R^7 = alkyl, alkoxy, alkylthio, alkylamino, dialkylamino or cycloalkyl
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            m, n = 0-2
                                                                                                                                                                                                                                                            T' = 1-4C alkyl;
                                                                                                                                                                                                                                                                                                           T, R^5 = 1-6C alkyl;
                                                                                                                                                                                                                                                                                                                                                           T'' = T (opt. substd. by halo, CN or OT')
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           R<sup>1</sup>, R<sup>4</sup> = T", OT", ST", NHT", NT"<sub>2</sub>, H, halo or CN; R<sup>2</sup>, R<sup>6</sup> = T", OT", ST", NHT", NT"<sub>2</sub>, H, halo or CN;
                                                                                                                                                         G = 1-4C alkanediyl, 2-4C alkenediyl or 2-4C alkynediyl (all opt.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                R^3 = 2-6C alkenyl, 2_6C alkynyl (both opt. substd. as for T"), T", Cy-
                                                                                                                                                                                                    Cy = 3-6C cycloalkyl (opt. substd. by halo, CN, COOH, T' or COOT');
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       MORE SPECIFICALLY
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         Q = 0 \text{ or } S;
substd. by halo, OH, T', 1-4C haloalkyl or 3-6C cycloalkyl), bond, O, S, -Q-CQ-, -CQ-Q-, -CH<sub>2</sub>-, -Q-CH<sub>2</sub>-, -CQ-Q-CH<sub>2</sub>-, -CQ-Q-CH<sub>2</sub>-, -CH<sub>2</sub>-, -N=N-, -S(O)<sub>n</sub>-, -CH<sub>2</sub>-
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           N(R^8)-C(R^7)=N-0-CH_2-;
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  (all opt. substd.), H or CN;
                                                                                                                                                                                                                                                                                                                                                                                                                substd. 1-4C alkyl, H or CN;
```

S(O)_h-, -CQ-, -S(O)_h-CH₂-, -C(R⁷)=N-O-, -C(R⁷)=N-O-CH₂-, -N(R⁸)-, -CQ-N(R⁸)-, -N(R⁸)-CQ-, -Q-CQ-N(R⁸)-, -N=C(R⁷)-Q-CH₂-, -CH₂-O-N=C(R⁷)-, -N(R⁸)-CQ-Q-, -CQ-N(R⁸)-CQ-Q-, - $N(R^8)$ -CQ-Q-CH₂-, -Q-C(R⁷)=N-O-CH₂- or -N(R⁸)-C(R⁷)=N-Q-

R⁷ = Cy, T", OT", ST", NHT", NT"₂, H, halo or CN; R⁸ = Cy, T", H, OH or CN; Z = 1-8C alkyl (opt. substd. by 1 or more halo, CN, OH, NH₂, OT', ST', SOT' or SO₂T' (opt. substd. by halo)), 2-8C alkenyl (opt. COOT'), or Ar; cycloalkyl (opt. substd. by one or more halo, CN, COOH, Ph, T', substd. by halo), 2-8C alkynyl (opt. substd. by halo), 3-6C

Ph = phenyl (opt. substd. by halo, CN, T', 1-4C haloalkyl, 1-4C haloalkoxy or OT');

Ar = phenyl, naphthyl or 3-7-membered heterocycl contg. O, S or N R^0 = halo, CN, NO₂, NH₂, OH, CHO, COOH, CONH₂, CSNH₂, XR₄, 2and opt. 1 or 2 additional N (all opt. substd. by one or more R⁰);

Alk = 1-6C alkylene (opt. substd. by one or more halo, or T' (opt. 6C alkenyl, 2-6C alkenyloxy (both opt. substd. by 1-13 halo), NHT, NT₂, COT, OCOT, COOT, OSO₂T, T (substd. by NHOH or NHOT), Cy, Alk, OAlkO, Het, CH₂Het or Ar³;

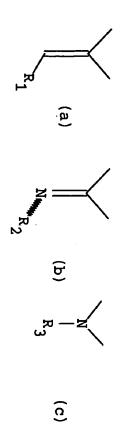
WO 9617825-A+/1

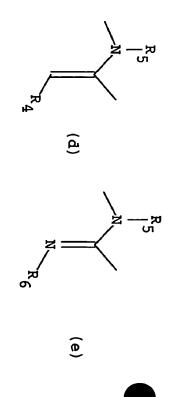
substd. by 1-9 halo)); X = bond, O, S, SO, SO₂;

 $Ar^3 = pyridyl$, thienyl, phenyl, phenoxy, phenylthio, benzyl, Het = 3-7 membered heterocycle with 1-3 heteroatoms (esp. N, O S); benzyloxy, benzylthio, phenylethyl or phenylethyloxy (all opt.

Ζμ ring-substd. by Ř");
T', ST', OT' (all opt. substd. with 1-9 halo), halo, CN, Alk or OAlkO;

E = a gp. of formula (a)-(e).





caused by various spp. including Podosphaera. which are esp. useful for the control of cereal diseases caused by various spp. including Erysiphe, Leptosphaeria, Pyrenophora and Cochliobolus spp. as well as diseases in fruit and vegetable crops Application rate is 0.001-50g/kg when used as a seed dressily (I) are plant fungicides which are tolerated well by plants and

WO 9617825-A+/2

ADVANTAGE

(I) are more effective than known substd. carboxamides (cf. e.g. EP 398692) and have esp. good activity in vitro.

PREPARATION

Claimed prepn. of (I) is as follows.

$$Z-G-Ar^{1}-E-COR + A^{1}-NH-[C(A^{2})(A^{3})]_{m}-Ar^{2} \Rightarrow (I)$$

 \exists

R = OH, halo or alkoxy.

(III) can be used as a hydrohalide and the reaction may be performed in the presence of an acid acceptor, condensation agent and/or diluent.

EXAMPLE

A mixt. of methyl 2-methoxyimino-2-[2-(2-methylphenoxy-methyl)-phenyl]-acetate (2.5 g) and 4-chlorobenzylamine (1.14 g) was stirred at 120 °C for 12 hrs., cooled, taken up in CH₂Cl₂, washed (H₂O, 1N HCl, then H₂O), dried (Na₂SO₄) and filtered. Concentration and chromatography (SiO₂; petroleum ether:EtOAc, 5:1) gave N-(4-chlorobenzyl)-2-methoxyimino-2-[2-(2-methylphenoxymethyl)-

acetamide (1.4 g; 42% yield); oil.

Typical cpds. (I) applied at 250 g/ha gave 100% protection to wheat and barley from attack by Erysiphe graminis and also gave 100% kill of this fungus on the same cereals. When used in concns, of 20 ppm, cpds. also gave 89-97% protection to apples from attack Podosphaera leucotricha. (LJ) (83pp2101DwgNo.0/0)

SR:6.Jnl.Ref EP431328 JP01031753 JP02142761 W09501328

WO 9617825-A/3